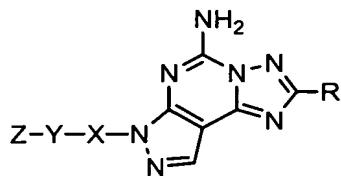


We claim:

1. Compounds having the structural formula

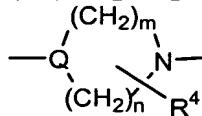


5 or a pharmaceutically acceptable salt thereof, wherein

$\text{R}$  is  $\text{R}^1$ -furanyl,  $\text{R}^1$ -thienyl,  $\text{R}^1$ -pyridyl,  $\text{R}^1$ -pyridyl N-oxide,  $\text{R}^1$ -oxazolyl,  $\text{R}^{10}$ -phenyl,  $\text{R}^1$ -pyrrolyl or  $\text{C}_4\text{-C}_6$  cycloalkenyl;

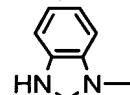
$\text{X}$  is  $\text{C}_2\text{-C}_6$  alkylene or  $-\text{C}(\text{O})\text{CH}_2-$ ;

$\text{Y}$  is  $-\text{N}(\text{R}^2)\text{CH}_2\text{CH}_2\text{N}(\text{R}^3)-$ ,  $-\text{OCH}_2\text{CH}_2\text{N}(\text{R}^2)-$ ,  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{CH}_2\text{S}-$ ,  $-(\text{CH}_2)_2\text{NH}-$ , or



and

10  $\text{Z}$  is  $\text{R}^5$ -phenyl,  $\text{R}^5$ -phenyl( $\text{C}_1\text{-C}_6$ )alkyl,  $\text{R}^5$ -heteroaryl, diphenylmethyl,  $\text{R}^6\text{-C}(\text{O})-$ ,



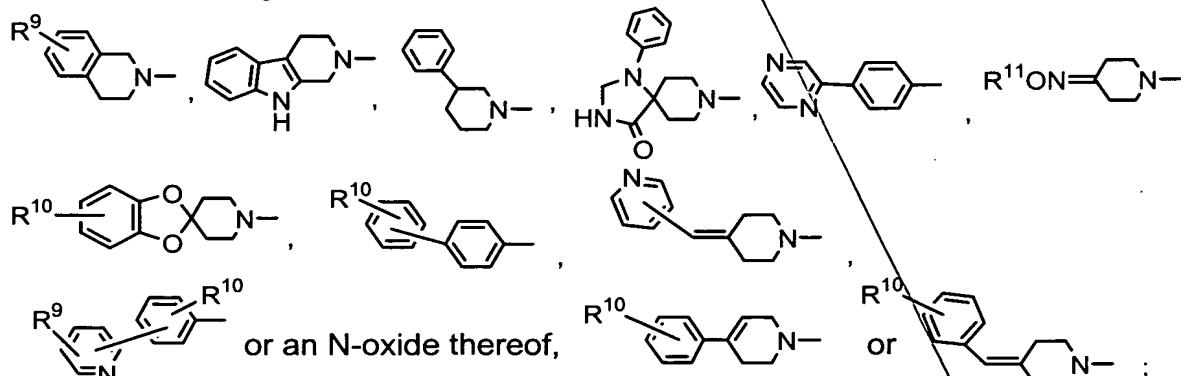
$\text{R}^6\text{-SO}_2-$ ,  $\text{R}^6\text{-OC}(\text{O})-$ ,  $\text{R}^7\text{-N}(\text{R}^8)\text{-C}(\text{O})-$ ,  $\text{R}^7\text{-N}(\text{R}^8)\text{-C}(\text{S})-$ , , phenyl- $\text{CH}(\text{OH})-$ , or



15 phenyl- $\text{C}(\text{=NOR}^2)-$ ; or when  $\text{Q}$  is  $\text{H}$ ,  $\text{Z}$  is also phenylamino or pyridylamino;

or

$\text{Z}$  and  $\text{Y}$  together are



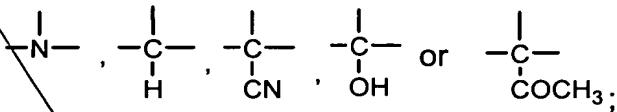
20  $\text{R}^1$  is 1 to 3 substituents independently selected from hydrogen,  $\text{C}_1\text{-C}_6$ -alkyl,

$-\text{CF}_3$ , halogen,  $-\text{NO}_2$ ,  $-\text{NR}^{12}\text{R}^{13}$ ,  $\text{C}_1\text{-C}_6$  alkoxy,  $\text{C}_1\text{-C}_6$  alkylthio,  $\text{C}_1\text{-C}_6$  alkylsulfinyl, and  $\text{C}_1\text{-C}_6$  alkylsulfonyl;

~~R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydrogen and C<sub>1</sub>-C<sub>6</sub> alkyl;~~

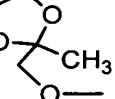
~~m and n are independently 2-3;~~

~~Q is~~

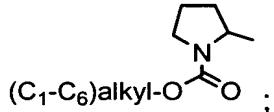


~~R<sup>4</sup> is 1-2 substituents independently selected from the group consisting of hydrogen and C<sub>1</sub>-C<sub>6</sub> alkyl, or two R<sup>4</sup> substituents on the same carbon can form =O;~~

~~10 R<sup>5</sup> is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, -CN, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino, -CF<sub>3</sub>, -OCF<sub>3</sub>, acetyl, -NO<sub>2</sub>, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy, di-((C<sub>1</sub>-C<sub>6</sub>)-alkoxy)(C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy, carboxy(C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl(C<sub>1</sub>-C<sub>6</sub>)alkoxy, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkoxy, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino(C<sub>1</sub>-C<sub>6</sub>)alkoxy, morpholinyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-, (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)alkoxy, tetrahydropyranloxy, (C<sub>1</sub>-C<sub>6</sub>)alkylcarbonyl(C<sub>1</sub>-C<sub>6</sub>)-alkoxy, (C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylcarbonyloxy(C<sub>1</sub>-C<sub>6</sub>)-alkoxy, -SO<sub>2</sub>NH<sub>2</sub>, phenoxy,~~

~~15 (C<sub>1</sub>-C<sub>6</sub> alkyl)  ; or adjacent R<sup>5</sup> substituents together are -O-CH<sub>2</sub>-O-, -O-CH<sub>2</sub>CH<sub>2</sub>-O-, -O-CF<sub>2</sub>-O- or -O-CF<sub>2</sub>CF<sub>2</sub>-O- and form a ring with the carbon atoms to which they are attached;~~

~~20 R<sup>6</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>5</sup>-phenyl, R<sup>5</sup>-phenyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, thiienyl, pyridyl, (C<sub>3</sub>-C<sub>6</sub>)-cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkyl-OC(O)-NH-(C<sub>1</sub>-C<sub>6</sub>)alkyl-, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)aminomethyl, or~~



~~R<sup>7</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>5</sup>-phenyl or R<sup>5</sup>-phenyl(C<sub>1</sub>-C<sub>6</sub>)alkyl;~~

~~25 R<sup>8</sup> is hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl; or R<sup>7</sup> and R<sup>8</sup> together are -(CH<sub>2</sub>)<sub>p</sub>-A-(CH<sub>2</sub>)<sub>q</sub>, wherein p and q are independently 2 or 3 and A is a bond, -CH<sub>2</sub>-, -S- or -O-, and form a ring with the nitrogen to which they are attached;~~

~~R<sup>9</sup> is 1-2 groups independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, halogen, -CF<sub>3</sub> and (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy ;~~

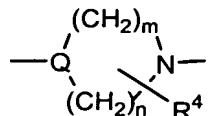
~~30 R<sup>10</sup> is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, -CN, -NH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub> alkylamino, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino, -CF<sub>3</sub>, -OCF<sub>3</sub> and -S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl;~~

~~R<sup>11</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, phenyl, benzyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino(C<sub>1</sub>-C<sub>6</sub>)alkyl, pyrrolidinyl(C<sub>1</sub>-C<sub>6</sub>)alkyl or piperidino(C<sub>1</sub>-C<sub>6</sub>)alkyl;~~

*a<sup>1</sup>*  
cont

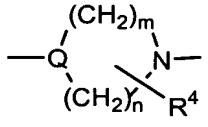
*R<sup>12</sup>* is H or C<sub>1</sub>-C<sub>6</sub> alkyl; and  
*R<sup>13</sup>* is (C<sub>1</sub>-C<sub>6</sub>)alkyl-C(O)- or (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-.

2. A compound of claim 1 wherein R is R<sup>1</sup>-furanyl.  
5 3. A compound of claim 1 wherein X is C<sub>2</sub>-C<sub>6</sub> alkylene.  
4. A compound of claim 1 wherein Y is



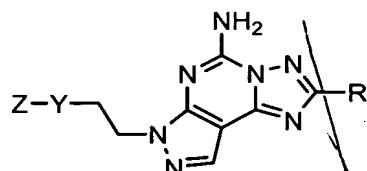
10 5. A compound of claim 5 wherein Q is  $\boxed{-\text{N}-}$  or  $\boxed{-\text{CH}-}$ .  
6. A compound of claim 5 wherein m and n are each 2, and R<sup>4</sup> is H.  
15 7. A compound of claim 1 wherein Z is R<sup>5</sup>-phenyl, R<sup>5</sup>-heteroaryl, R<sup>6</sup>-C(O)- or R<sup>6</sup>-SO<sub>2</sub>-.  
8. A compound of claim 7 wherein R<sup>5</sup> is H, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy or (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy, or R<sup>6</sup> is R<sup>5</sup>-phenyl.

20 9. A compound of claim 1 wherein R is R<sup>1</sup>-furanyl, X is C<sub>2</sub>-C<sub>6</sub> alkylene, Y is



Q is  $\boxed{-\text{N}-}$  or  $\boxed{-\text{CH}-}$ , m and n are each 2, R<sup>4</sup> is H, Z is R<sup>5</sup>-phenyl, R<sup>5</sup>-heteroaryl, R<sup>6</sup>-C(O)- or R<sup>6</sup>-SO<sub>2</sub>-, R<sup>5</sup> is H, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy or (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkoxy, and R<sup>6</sup> is R<sup>5</sup>-phenyl.

25 10. A compound of claim 1 selected from the group consisting of compounds of the formula



30 wherein R and Z-Y are as defined in the following table.

Z-Y-	R
<chem>FC1=CC(F)=CC2=C1CCN3CCNCC3=CC2</chem>	<chem>CC1=CCOC1</chem>
<chem>CN1CCNCC1=CC2=CC=CC2</chem>	<chem>CC1=CCOC1</chem>
<chem>FC1=CC(F)=CC2=C1CCN3CCNCC3=CC2</chem>	<chem>CC1=CCOC1</chem>
<chem>COc1ccc2c(F)cc(OCC)cc2n1</chem>	<chem>CC1=CCOC1</chem>
<chem>COc1ccc2c(F)cc3c(F)ccn3n2</chem>	<chem>CC1=CCOC1</chem>
<chem>CC(C)Oc1ccc2c(F)ccn2n1</chem>	<chem>CC1=CCOC1</chem>
<chem>CC(C)Oc1ccc2c(F)cc3c(Cl)ccn3n2</chem>	<chem>CC1=CCOC1</chem>
<chem>COc1ccc2c(F)cc3c(Cl)ccn3n2</chem>	<chem>CC1=CCOC1</chem>
<chem>FC1=CC(F)=CC2=C1C=NC=CN2</chem>	<chem>CC1=CCOC1</chem>
<chem>CH3Cc1ccncc1</chem>	<chem>CC1=CCOC1</chem>
<chem>FC1=CC(F)=CC2=C1CCN3CCNCC3=CC2</chem>	<chem>CC(F)C1=CC=CC1</chem>
<chem>FC1=CC(F)=CC2=C1CCN3CCNCC3=CC2</chem>	<chem>CC(F)C1=CC=CC1</chem>

14  
Sub 11. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in a pharmaceutically acceptable carrier.

15  
A2 5 12. A method of treating central nervous system diseases or stroke, comprising administering an effective amount of a compound of formula I to a mammal in need of such treatment.

16

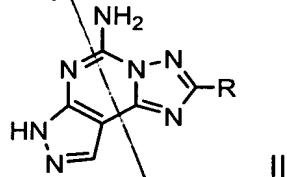
13. A method of claim 12 for treating depression, cognitive diseases and neurodegenerative diseases.

17

14. A method of claim 13 for treating Parkinson's disease, senile dementia or psychoses of organic origin.

5

15. A process of preparing a compound of formula II



wherein R is R<sup>1</sup>-furanyl, R<sup>1</sup>-thienyl, R<sup>1</sup>-pyridyl, R<sup>1</sup>-pyridyl N-oxide, R<sup>1</sup>-oxazolyl, R<sup>10</sup>-phenyl, R<sup>1</sup>-pyrrolyl or C<sub>4</sub>-C<sub>6</sub> cycloalkenyl;

R<sup>1</sup> is 1 to 3 substituents independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, -CF<sub>3</sub>, halogen, -NO<sub>2</sub>, -NR<sup>12</sup>R<sup>13</sup>, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl, and C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl;

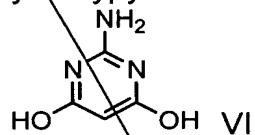
R<sup>10</sup> is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, -CN, -NH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub> alkylamino, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino, -CF<sub>3</sub>, -OCF<sub>3</sub> and -S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>12</sup> is H or C<sub>1</sub>-C<sub>6</sub> alkyl; and

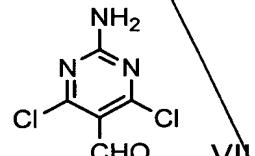
R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl-C(O)- or (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-;

comprising

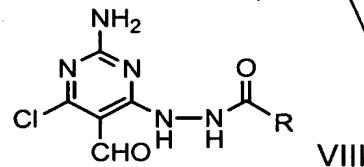
20 (1) treating 2-amino-4,6-dihydroxypyrimidine



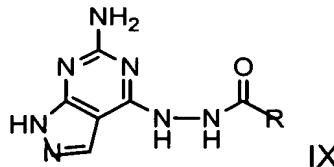
with POCl<sub>3</sub> in dimethylformamide to obtain 2-amino-4,6-dichloropyrimidine-5-carboxaldehyde



25 (2) treating carboxaldehyde VII with a hydrazide of the formula H<sub>2</sub>N-NH-C(O)-R, wherein R is as defined above, to obtain



(3) treating the intermediate of formula VIII with hydrazine hydrate to form a pyrazolo ring, thus obtaining the intermediate of formula IX

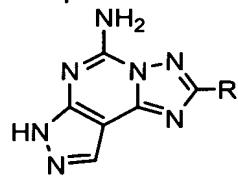


IX

(4) forming the desired compound of formula II by dehydrative rearrangement.

5

16. A process for preparing a compound of the formula II



II

wherein R is R<sup>1</sup>-furanyl, R<sup>1</sup>-thienyl, R<sup>1</sup>-pyridyl, R<sup>1</sup>-pyridyl N-oxide, R<sup>1</sup>-oxazolyl, R<sup>10</sup>-phenyl, R<sup>1</sup>-pyrrolyl or C<sub>4</sub>-C<sub>6</sub> cycloalkenyl;

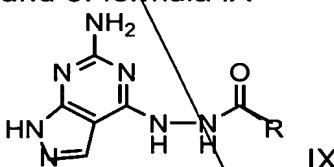
10 R<sup>1</sup> is 1 to 3 substituents independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, -CF<sub>3</sub>, halogen, -NO<sub>2</sub>, -NR<sup>12</sup>R<sup>13</sup>, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl, and C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl;

15 R<sup>10</sup> is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, -CN, -NH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub> alkylamino, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino, -CF<sub>3</sub>, -OCF<sub>3</sub> and -S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>12</sup> is H or C<sub>1</sub>-C<sub>6</sub> alkyl; and

R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl-C(O)- or (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-;

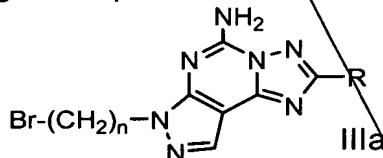
comprising converting a compound of formula IX



IX

20 into the desired compound of formula II by dehydrative rearrangement.

17. A process for preparing a compound of formula IIIa



IIIa

wherein R is R<sup>1</sup>-furanyl, R<sup>1</sup>-thienyl, R<sup>1</sup>-pyridyl, R<sup>1</sup>-pyridyl N-oxide, R<sup>1</sup>-oxazolyl, R<sup>10</sup>-phenyl, R<sup>1</sup>-pyrrolyl or C<sub>4</sub>-C<sub>6</sub> cycloalkenyl;

25 R<sup>1</sup> is 1 to 3 substituents independently selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, -CF<sub>3</sub>, halogen, -NO<sub>2</sub>, -NR<sup>12</sup>R<sup>13</sup>, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, C<sub>1</sub>-C<sub>6</sub> alkylsulfinyl, and C<sub>1</sub>-C<sub>6</sub> alkylsulfonyl;

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~~R<sup>10</sup> is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy, -CN, -NH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>alkylamino, di-((C<sub>1</sub>-C<sub>6</sub>)alkyl)amino, -CF<sub>3</sub>, -OCF<sub>3</sub> and -S(O)<sub>0-2</sub>(C<sub>1</sub>-C<sub>6</sub>)alkyl;~~

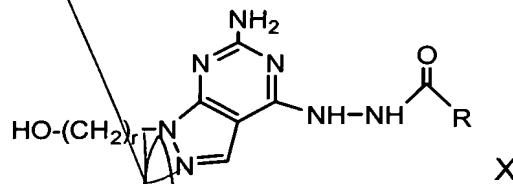
~~R<sup>12</sup> is H or C<sub>1</sub>-C<sub>6</sub> alkyl; and~~

5      ~~R<sup>13</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl-C(O)- or (C<sub>1</sub>-C<sub>6</sub>)alkyl-SO<sub>2</sub>-;~~  
comprising

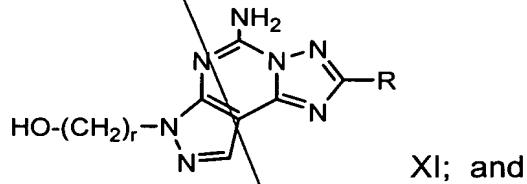
(1) treating a chloride of formula VIII



10      with a hydroxyalkyl hydrazine of the formula HO-(CH<sub>2</sub>)<sub>r</sub>-NHNH<sub>2</sub>, wherein r is 2-6, to obtain



(2) cyclizing the intermediate of formula X by dehydrative rearrangement to obtain the tricyclic intermediate of formula XI



15      (3) converting the hydroxy compound of formula XI to the bromide of formula IIIa.

18.      A pharmaceutical composition comprising a therapeutically effective amount of a combination of a compound of claim 1 and 1 to 3 other agents useful in treating  
20      Parkinson's disease in a pharmaceutically acceptable carrier

19.      A method of treating Parkinson's disease comprising administering to a mammal in need of such treatment an effective amount of a combination of a compound of claim 1 and 1 to 3 other agents useful in treating Parkinson's disease.

25      20.      The method of claim 19 wherein the other agents are selected from the group consisting of L-DOPA, dopaminergic agonists, MAO-B inhibitors, DOPA decarboxylase inhibitors and COMT inhibitors.

add  
AB